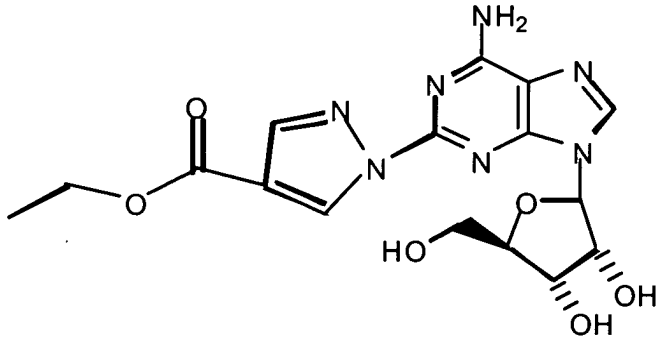
APPENDIX A

MARKED UP SPECIFICATION PARAGRAPHS AND CLAIMS PURSUANT TO 37 CFR 1.121 TO ACCOMPANY THE RESPONSE TO THE OCTOBER 31, 2001 OFFICAL ACTION

IN THE SPECIFICATION

Cancel pages 24-28 from the application and replace with new pages 24-28 which are attached hereto. The changes to specification pages 24-28 are set forth below.

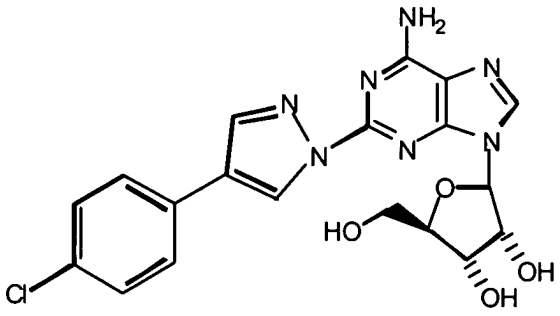
Example 1



Ethyl 1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-aminopurin-2-yl}pyrazole-4-carboxylate which can also be identified as [N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-ethoxycarbonyl)pyrazolyl)adenosine] 2-(4-ethoxycarbonylpyrazol-1-yl)adenosine (12).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added (ethoxycarbonyl)malondialdehyde ((0.019 g, 0.12 mmol) and the mixture was heated [heated] at 80^{0}C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and ether to afford 12. ^{1}HNMR (DMSO-dδ) δ1.25 (t, 3 H), 3.5 (m, 1 H), 3.6 (m, 1 H), 3.8 (d, 1 H), 4.15 (d, 1 H), 4.55 (m, 1H), 5.0 (t, 1 H), 5.2 (d, 1 H), 5.5 (d, 1 H), 5.9 (d, 1H), 7.15-7.3 (m, 5 H), 7.8 (br s, 2 H), 8.1 (s, 1H), 8.4 (s, 1 H), 8.9 (s, 1H).

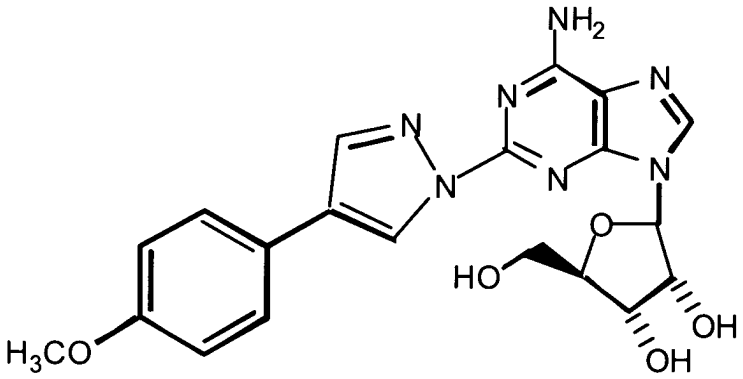
Example 2



(4S,2R,3R,5R)-2-{6-amino-2-[4-(4-chlorophenyl)pyrazolyl]purin-9-yl}-5-(hydroxymethyl)oxolane-3,4-diol which can also be identified as [N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-(4-chlorophenyl))pyrazolyl)adenosine] 2-[4-(4-chlorophenyl)pyrazol-1-yl)]adenosine (13).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added 2-(4-chloro)malondialdehyde (0.022g, 0.12 mmol) and the mixture was heated at 80 ^{0}C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and Ether to afford **13**. ^{1}HNMR (DMSO-dδ) δ3.5 (m, 1 H), 3.6 (m, 1 H), 3.8 (d, 1 H), 4.15 (d, 1 H), 4.2 (q, 2 H), 4.55 (m, 1H), 5.9 (d, 1H), 7.45 (d, 2 H), 7.75 (d , 2 H), 8.25 (s, 1H), 8.35 (s, 1 H), 8.9 (s, 1H).

Example 3

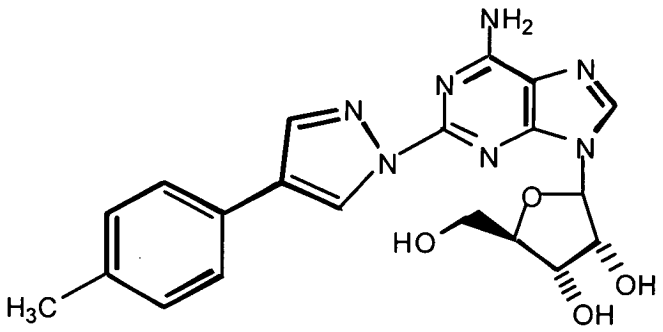


(4S,2R,3R,5R)-2-{6-amino-2-[4-(4-methoxyphenyl)pyrazolyl]purin-9-yl}-5-

(hydroxymethyl)oxolane-3,4-diol which can also be identified as [N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-(4-methoxyphenyl))pyrazolyl)adenosine] 2-[4-(4-methoxyphenyl)pyrazol-1-yl)]adenosine (14).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added 2-(4-methoxy)malondialdehyde (0.022g, 0.12 mmol) and the mixture was heated at 80 ^{0}C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and Ether to afford 14. ^{1}HNMR (DMSO-d*6*) δ3.55 (m, 1 H), 3.65 (m, 1 H), 3.75 (s, 3 H), 3.9 (d, 1 H), 4.15 (d, 1 H), 4.6 (m, 1 H), 5.9 (d, 1 H), 6.75 (d, 2 H), 7.6 (d , 2 H), 8.15 (s, 1H), 8.35 (s, 1 H), 8.8 (s, 1 H).

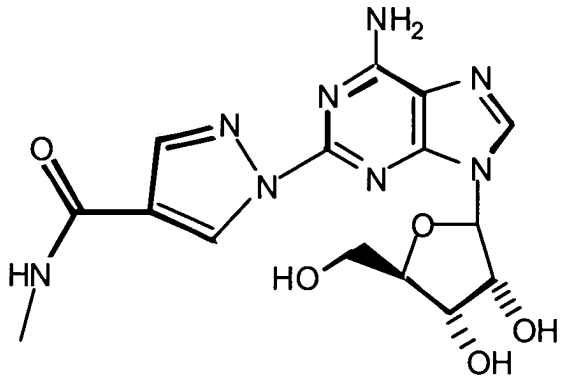
Example 4



(4S,2R,3R,5R)-2-{6-amino-2-[4-(4-methylphenyl)pyrazolyl]purin-9-yl}-5-(hydroxymethyl)oxolane-3,4-diol which can also be identified as [N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-(4-methylphenyl))pyrazolyl)adenosine] 2-[4-(4-methylphenyl)pyrazol-1-yl)]adenosine (15).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added 2-(4-methyl)malondialdehyde (0.019g, 0.12 mmol) and the mixture was heated at 80 ^{0}C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and Ether to afford **15**. ^{1}HNMR (DMSO-d*6*) δ3.55 (m, 1 H\), 3.65 (m, 1 H), 3.75 (s, 3 H),

3.9 (d, 1 H), 4.15 (d, 1 H), 4.6 (m, 1 H), 5.9 (d, 1 H), 6.75 (d, 2 H), 7.6 (d , 2 H), 8.15 (s, 1 H), 8.35 (s, 1 H), 8.8 (s, 1 H).

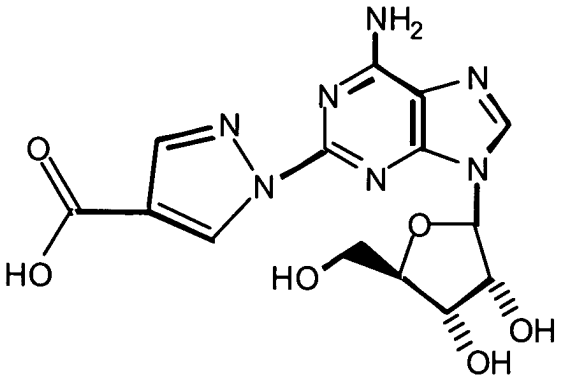


Example 5

(1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-aminopurin-2-yl}pyrazol-4-yl)-N-methylcarboxamide which can also be identified as [N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-methylaminocarbonyl)pyrazolyl)adenosine] 2-(4-methylaminocarbonylpyrazol-1-yl)adenosine (16).

Compound 12 (0.05 mg, 0.12 mmol) was added to 4 mL methylamine (40% sol. In water). The mixture heated at 65 ^{0}C in for 24 h. After concentration in vacuo, the residue was purified using prep. TLC (10% MeOH:DCM). ^{1}HNMR (CD_3OD) δ2.90 (s, 3 H), 3.78 (m, 1 H), 3.91 (m, 1 H), 4.13 (d, 1 H), 4.34 (d, 1 H), 4.64 (m, 1 H), 6.06 (d, 1 H), 8.11 (s, 1 H), 8.38 (s, 1 H), 9.05 (s, 1 H).

Example 6



1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-aminopurin-2-yl}pyrazole-4-carboxylic acid which can also be identified as [N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-carboxy)pyrazolyl)adenosine] 2-(4-carboxypyrazol-1-yl)adenosine (17).

Compound 12 (0.05 mg, 0.12 mmol) was dissolved one equivalent of 1N NaOH. The solution was allowed to stir at Rt for 2h, then acidified to pH 4. The resulting precipitate was filtered and washed with water and ether. ^{1}HNMR (CD_3OD) Δ3.75 (m, 1 H), 3.90 (m, 1 H), 4.13 (d, 1 H), 4.43 (d, 1 H), 4.64 (m, 1H), 6.05 (d, 1H), 8.10 (s, 1H), 8.35 (s, 1 H), 9.05 (s, 1 H).

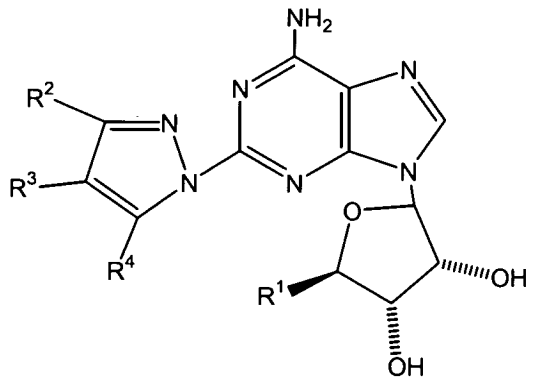
Example 7

Compositions of this invention were assayed to determine their affinity for the A2A receptor in a pig striatum membrane prep. Briefly, 0.2 mg of pig striatal membranes were treated with adenosine deaminase (2 U/ mL) and 50 mM Tris buffer (pH = 7.4) followed by mixing. To the pig membranes was added 2 μL of serially diluted DMSO stock solution of the compounds of this invention at concentrations ranging from 10 nM to 100 microM or the control received 2 microL of DMSO alone, then the trotted antagonist ZM 241385 in Tris buffer (50 mM, pH of 7.4) was added to achieve a final concentration of 2 nM . After incubation at 23 ° C for 2h, then the solutions were filtered using a membrane harvester using multiple washing of the membranes

(3 x). The filter disks were counted in scintillation cocktail to determine the amount of displacement of tritiated ZM displaced by the compositions of this invention. Greater than a 5 point curve was used to generate Ki's. and the number of experiments is indicated in the column marked in Table 1 below.

IN THE CLAIMS

1. (Twice amended) A compound having the formula:



wherein R^1 [=] is -CH_2OH[, or -$CONR_5R_6$];

R^2 and R^4 are each hydrogen;

R^3 is selected from the group consisting of CO_2R^{20}, -$CONR^7R^8$ and aryl wherein the aryl substituent is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, C_{1-6} alkyl, CF_3 and OR^{20} [C_{1-15} alkyl, halo, NO_2, CF_3, CN, OR^{20}, SR^{20}, $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, $SO_2NR^{20}COR^{22}$, $SO_2NR^{20}CO_2R^{22}$, $SO_2NR^{20}CON(R^{20})_2$, $N(R^{20})_2$ $NR^{20}COR^{22}$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, $NR^{20}C(NR^{20})NHR^{23}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $CONR^{20}SO_2R^{22}$, $NR^{20}SO_2R^{22}$, $SO_2NR^{20}CO_2R^{22}$, $OCONR^{20}SO_2R^{22}$, $OC(O)R^{20}$, $C(O)OCH_2OC(O)R^{20}$, and $OCON(R^{20})_2$,-$CONR^7R^8$, C_{2-15} alkenyl, C_{2-15} alkynyl, heterocyclyl, aryl, and heteroaryl, wherein the alkyl, alkenyl, alkynyl, aryl, heterocyclyl and heteroaryl substituents are optionally substituted with from 1 to 3 substituents independently

selected from the group consisting of halo, alkyl, NO_2, heterocyclyl, aryl, heteroaryl, CF_3, CN, OR^{20}, SR^{20}, $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, $SO_2NR^{20}COR^{22}$, $SO_2NR^{20}CO_2R^{22}$, $SO_2NR^{20}CON(R^{20})_2$, $N(R^{20})_2$ $NR^{20}COR^{22}$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, $NR^{20}C(NR^{20})NHR^{23}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $CONR^{20}SO_2R^{22}$, $NR^{20}SO_2R^{22}$, $SO_2NR^{20}CO_2R^{22}$, $OCONR^{20}SO_2R^{22}$, $OC(O)R^{20}$, $C(O)OCH_2OC(O)R^{20}$, and $OCON(R^{20})_2$ and wherein the optional heteroaryl, aryl, and heterocyclyl substituent is optionally substituted with one or more substituents selected from the group consisting of halo, NO_2, alkyl, CF_3, amino, monoalkylamino, dialkylamino, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, $NCOR^{22}$, $NR^{20}SO_2R^{22}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $NR^{20}CON(R^{20})_2$, $OC(O)R^{20}$, $OC(O)N(R^{20})_2$, SR^{20}, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, CN, and OR^{20};

R^5 and R^6 are each individually selected from the group consisting of H, and C_1-C_{15} alkyl optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, NO_2, heterocyclyl, aryl, heteroaryl, CF_3, CN, OR^{20}, SR^{20}, $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, $SO_2NR^{20}COR^{22}$, $SO_2NR^{20}CO_2R^{22}$, $SO_2NR^{20}CON(R^{20})_2$, $N(R^{20})_2$ $NR^{20}COR^{22}$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, $NR^{20}C(NR^{20})NHR^{23}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $CONR^{20}SO_2R^{22}$, $NR^{20}SO_2R^{22}$, $SO_2NR^{20}CO_2R^{22}$, $OCONR^{20}SO_2R^{22}$, $OC(O)R^{20}$, $C(O)OCH_2OC(O)R^{20}$, and $OCON(R^{20})_2$ and wherein the optional heteroaryl, aryl, and heterocyclyl substituent are optionally substituted with one or more substituents selected from the group consisting of halo, NO_2, alkyl, CF_3, amino, monoalkylamino, dialkylamino, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, $NCOR^{22}$, $NR^{20}SO_2R^{22}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $NR^{20}CON(R^{20})_2$, $OC(O)R^{20}$, $OC(O)N(R^{20})_2$, SR^{20}, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, CN, and OR^{20};

R^7 is selected from the group consisting of hydrogen, C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15}

alkynyl, heterocyclyl, aryl and heteroaryl, wherein the alkyl, alkenyl, alkynyl, aryl, heterocyclyl and heteroaryl substituents are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, NO_2, heterocyclyl, aryl, heteroaryl, CF_3, CN, OR^{20}, SR^{20}, $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, $SO_2NR^{20}COR^{22}$, $SO_2NR^{20}CO_2R^{22}$, $SO_2NR^{20}CON(R^{20})_2$, $N(R^{20})_2$ $NR^{20}COR^{22}$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, $NR^{20}C(NR^{20})NHR^{23}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $CONR^{20}SO_2R^{22}$, $NR^{20}SO_2R^{22}$, $SO_2NR^{20}CO_2R^{22}$, $OCONR^{20}SO_2R^{22}$, $OC(O)R^{20}$, $C(O)OCH_2OC(O)R^{20}$ and $OCON(R^{20})_2$ and wherein the optional heteroaryl, aryl and heterocyclyl substituents are optionally substituted with one or more substituents selected from the group consisting of halo, NO_2, alkyl, CF_3, amino, monoalkylamino, dialkylamino, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, $NCOR^{22}$, $NR^{20}SO_2R^{22}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $NR^{20}CON(R^{20})_2$, $OC(O)R^{20}$, $OC(O)N(R^{20})_2$, SR^{20}, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, CN, and OR^{20};

R^8 is selected from the group consisting of hydrogen, $C_{1\text{-}15}$ alkyl, $C_{2\text{-}15}$ alkenyl, $C_{2\text{-}15}$ alkynyl, heterocyclyl, aryl, and heteroaryl, wherein the alkyl, alkenyl, alkynyl, aryl, heterocyclyl, and heteroaryl substituents are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, NO_2, heterocyclyl, aryl, heteroaryl, CF_3, CN, OR^{20}, SR^{20}, $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, $SO_2NR^{20}COR^{22}$, $SO_2NR^{20}CO_2R^{22}$, $SO_2NR^{20}CON(R^{20})_2$, $N(R^{20})_2$ $NR^{20}COR^{22}$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, $NR^{20}C(NR^{20})NHR^{23}$, COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $CONR^{20}SO_2R^{22}$, $NR^{20}SO_2R^{22}$, $SO_2NR^{20}CO_2R^{22}$, $OCONR^{20}SO_2R^{22}$, $OC(O)R^{20}$, $C(O)OCH_2OC(O)R^{20}$, and $OCON(R^{20})_2$ and wherein each optional heteroaryl, aryl, and heterocyclyl substituent is optionally substituted with one or more substituents selected from the group consisting of halo, NO_2, alkyl, CF_3, amino, monoalkylamino, dialkylamino, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, $NCOR^{22}$, $NR^{20}SO_2R^{22}$,

COR^{20}, CO_2R^{20}, $CON(R^{20})_2$, $NR^{20}CON(R^{20})_2$, $OC(O)R^{20}$, $OC(O)N(R^{20})_2$, SR^{20}, $S(O)R^{22}$, SO_2R^{22}, $SO_2N(R^{20})_2$, CN, and OR^{20};

R^{20} is selected from the group consisting of H, C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15} alkynyl, heterocyclyl, aryl, and heteroaryl, wherein the alkyl, alkenyl, alkynyl, heterocyclyl, aryl, and heteroaryl substituents are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, alkyl, monoalkylamino, dialkylamino, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, CN, O-C_{1-6} alkyl, CF_3, aryl, and heteroaryl;

R^{22} is selected from the group consisting of C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15} alkynyl, heterocyclyl, aryl, and heteroaryl, wherein the alkyl, alkenyl, alkynyl, heterocyclyl, aryl, and heteroaryl substituents are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, alkyl, monoalkylamino, dialkylamino, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, CN, O-C_{1-6} alkyl, CF_3, aryl, and heteroaryl; and

wherein R^2 and R^4 are selected from the group consisting of H, C_{1-6} alkyl, and aryl that is optionally substituted with halo, CN, CF_3, OR^{20} and $N(R^{20})_2$, with the proviso that when R^2 is not hydrogen then R^4 is hydrogen, and when R^4 is not hydrogen then R^2 is hydrogen.]

R^7 is selected from the group consisting of hydrogen, C_{1-8} alkyl and aryl, wherein the alkyl and aryl substituents are optionally substituted with one substituent selected from the group consisting of halo, aryl, CF_3, CN, and OR^{20} and wherein each optional aryl substituent is optionally substituted with at least one substituent selected from the group consisting of halo, alkyl, CF_3 CN, and OR^{20};

R^8 is selected from the group consisting of hydrogen and C_{1-8} alkyl; and

R^{20} is selected from the group consisting of hydrogen and C_{1-4} alkyl.

8. (Twice amended) The compound of claim 1 wherein [R^1 is $-CH_2OH$;]

R^3 is selected from the group consisting of CO_2R^{20}, $-CONR^7R^8$, and aryl that is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, C_{1-3} alkyl, CF_3 and OR^{20};

R^7 is selected from the group consisting of hydrogen, and C_{1-8} alkyl that is optionally substituted with one substituent selected from the group consisting of halo, CF_3, CN and OR^{20} ;

R^8 is selected from the group consisting of hydrogen and C_{1-3} alkyl; and

R^{20} is selected from the group consisting of hydrogen and C_{1-4} alkyl.

9. (Twice amended) The compound of claim 1 wherein [R^1 is $-CH_2OH$;]

R^3 is selected from the group consisting of CO_2R^{20}, $-CONR^7R^8$, and aryl that is optionally substituted with one substituent selected from the group consisting of halo, C_{1-3} alkyl, and OR^{20};

R^7 is selected from the group consisting of hydrogen, and C_{1-3} alkyl that is optionally substituted with one substituent selected from the group consisting of halo, CF_3, CN and OR^{20};

R^8 is hydrogen; and

R^{20} is selected from the group consisting of hydrogen and C_{1-4} alkyl.

10. (Twice amended) The compound of claim 1 wherein [R^1 is $-CH_2OH$;]

R^3 is selected from the group consisting of CO_2R^{20}, $-CONR^7R^8$, and aryl that is optionally substituted with one substituent selected from the group consisting of halo, C_{1-3} alkyl and OR^{20};

R^7 is selected from the group consisting of hydrogen, and C_{1-3} alkyl;

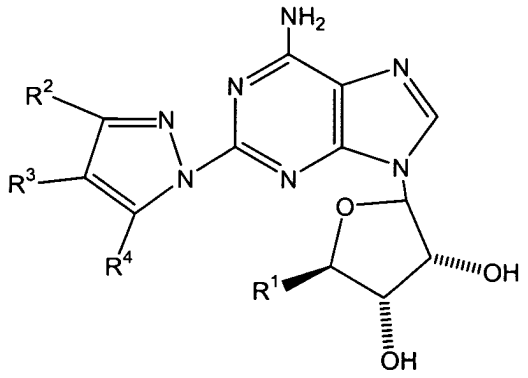
R^8 is hydrogen; and

R^{20} is selected from the group consisting of hydrogen and C_{1-4} alkyl.

23. (Once amended) A pharmaceutical composition comprising the [composition] compound of claim 1 and one or more pharmaceutical excipients;

26. (Once amended) The compound of claim 1 selected from the group consisting of [N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-ethoxycarbonyl)pyrazolyl)adenosine; N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-(4-chlorophenyl))pyrazolyl)adenosine; N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-(4-methoxyphenyl))pyrazolyl)adenosine; N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-(4-methylphenyl))pyrazolyl)adenosine; N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-methylaminocarbonyl)pyrazolyl)adenosine; and N^6-{3-(3R)tetrahydrofuranyl}-2-(N-1-(4-carboxy)pyrazolyl)adenosine] 2-(4-methylaminocarbonylpyrazol-1-yl)adenosine; 2-(4-ethoxycarbonylpyrazol-1-yl)adenosine; 2-[4-(4-chlorophenyl)pyrazol-1-yl)]adenosine; 2-[4-(4-methoxyphenyl)pyrazol-1-yl)]adenosine; 2-[4-(4-methylphenyl)pyrazol-1-yl)]adenosine; and 2-(4-carboxypyrazol-1-yl)adenosine.

27. (Once Amended) [The] A compound [of claim 10] having the following formula:



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wherein R^1 is $-CH_2OH$;

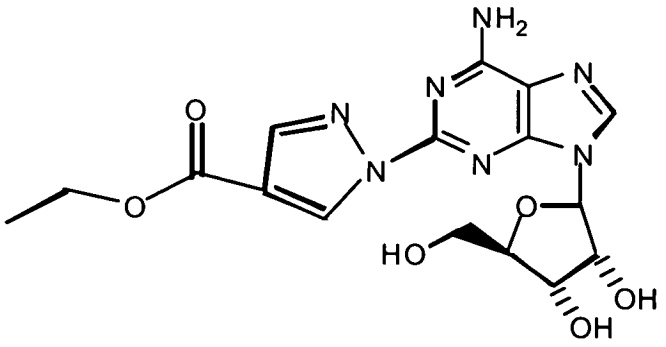
R^2 and R^4 are each hydrogen;

R^3 is $-CONR^7R^8$;

R^7 is methyl; and

R^8 is hydrogen.

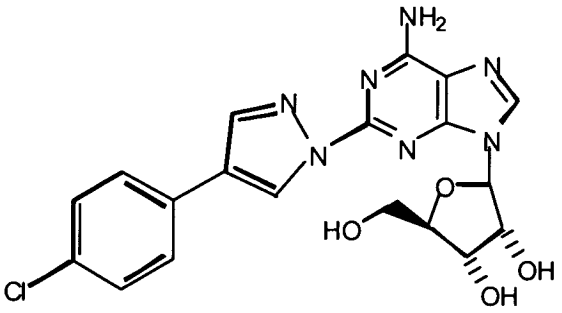
Example 1



Ethyl 1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-aminopurin-2-yl}pyrazole-4-carboxylate which can also be identified as 2-(4-ethoxycarbonylpyrazol-1-yl)adenosine (12).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added (ethoxycarbonyl)malondialdehyde ((0.019 g, 0.12 mmol) and the mixture was heated [heated] at 80^0C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and ether to afford 12. ^{1}HNMR (DMSO-dδ) δ1.25 (t, 3 H), 3.5 (m, 1 H), 3.6 (m, 1 H), 3.8 (d, 1 H), 4.15 (d, 1 H), 4.55 (m, 1H), 5.0 (t, 1 H), 5.2 (d, 1 H), 5.5 (d, 1 H), 5.9 (d, 1H), 7.15-7.3 (m, 5 H), 7.8 (br s, 2 H), 8.1 (s, 1H), 8.4 (s, 1 H), 8.9 (s, 1H).

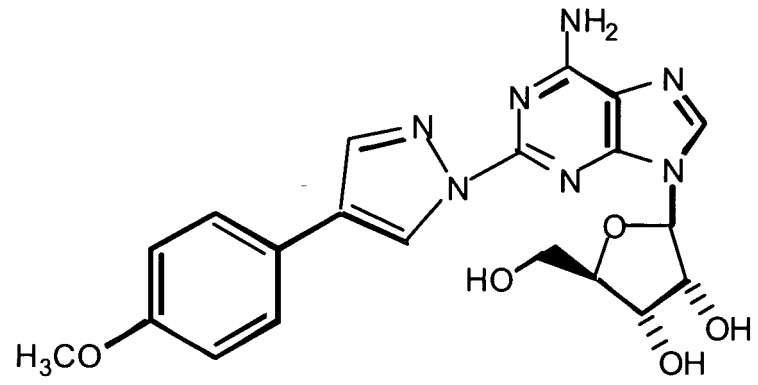
Example 2



(4S,2R,3R,5R)-2-{6-amino-2-[4-(4-chlorophenyl)pyrazolyl]purin-9-yl}-5-(hydroxymethyl)oxolane-3,4-diol which can also be identified as 2-[4-(4-chlorophenyl)pyrazol-1-yl)]adenosine (13).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added 2-(4-chloro)malondialdehyde (0.022g, 0.12 mmol) and the mixture was heated at 80 ^{0}C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and Ether to afford **13**. ^{1}HNMR (DMSO-d*6*) δ3.5 (m, 1 H), 3.6 (m, 1 H), 3.8 (d, 1 H), 4.15 (d, 1 H), 4.2 (q, 2 H), 4.55 (m, 1H), 5.9 (d, 1H), 7.45 (d, 2 H), 7.75 (d , 2 H), 8.25 (s, 1H), 8.35 (s, 1 H), 8.9 (s, 1H).

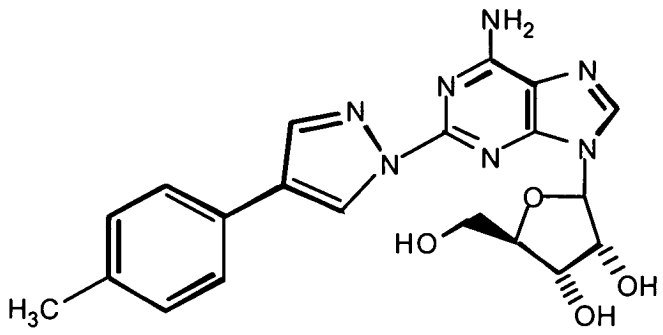
Example 3



(4S,2R,3R,5R)-2-{6-amino-2-[4-(4-methoxyphenyl)pyrazolyl]purin-9-yl}-5-(hydroxymethyl)oxolane-3,4-diol which can also be identified as 2-[4-(4-methoxyphenyl)pyrazol-1-yl)]adenosine (14).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added 2-(4-methoxy)malondialdehyde (0.022g, 0.12 mmol) and the mixture was heated at 80 ^{0}C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and Ether to afford 14. ^{1}HNMR (DMSO-d*6*) δ3.55 (m, 1 H), 3.65 (m, 1 H), 3.75 (s, 3 H), 3.9 (d, 1 H), 4.15 (d, 1 H), 4.6 (m, 1 H), 5.9 (d, 1 H), 6.75 (d, 2 H), 7.6 (d , 2 H), 8.15 (s, 1H), 8.35 (s, 1 H), 8.8 (s, 1 H).

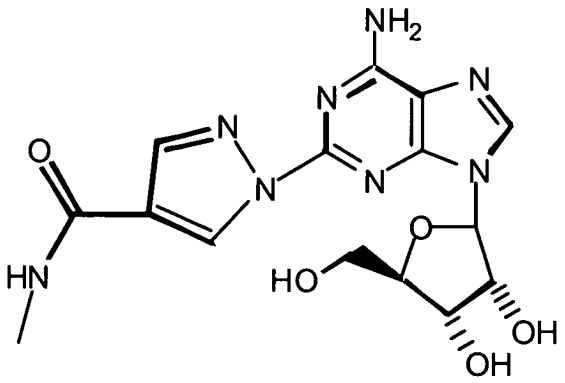
Example 4



(4S,2R,3R,5R)-2-{6-amino-2-[4-(4-methylphenyl)pyrazolyl]purin-9-yl}-5-(hydroxymethyl)oxolane-3,4-diol which can also be identified as 2-[4-(4-methylphenyl)pyrazol-1-yl)]adenosine (15).

To a suspension of 2-hydrazinoadenosine (0.025 g, 0.08 mmol) in a 1:1 mixture of MeOH/AcOH was added 2-(4-methyl)malondialdehyde (0.019g, 0.12 mmol) and the mixture was heated at 80 ^{0}C for 3 h. The precipitate formed was collected by filtration and washed with EtOH and Ether to afford **15**. ^{1}HNMR (DMSO-d6) δ3.55 (m, 1 H\), 3.65 (m, 1 H), 3.75 (s, 3 H), 3.9 (d, 1 H), 4.15 (d, 1 H), 4.6 (m, 1 H), 5.9 (d, 1 H), 6.75 (d, 2 H), 7.6 (d , 2 H), 8.15 (s, 1 H), 8.35 (s, 1 H), 8.8 (s, 1 H).

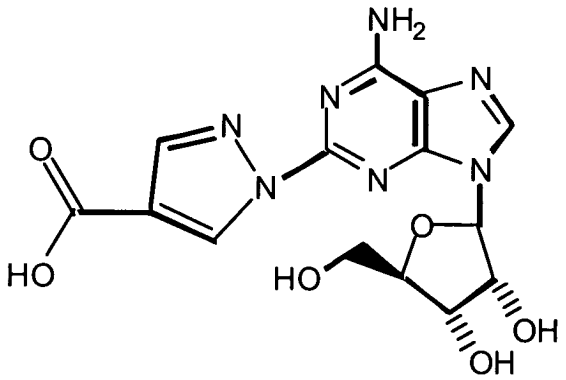
Example 5



(1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-aminopurin-2-yl}pyrazol-4-yl)-N-methylcarboxamide which can also be identified as 2-(4-methylaminocarbonylpyrazol-1-yl)adenosine (16).

The mixture heated at 65 ^{0}C in for 24 h. After concentration in vacuo, the residue was purified using prep. TLC (10% MeOH:DCM). ^{1}HNMR (CD_3OD) δ2.90 (s, 3 H), 3.78 (m, 1 H), 3.91 (m, 1 H), 4.13 (d, 1 H), 4.34 (d, 1 H), 4.64 (m, 1 H), 6.06 (d, 1 H), 8.11 (s, 1 H), 8.38 (s, 1 H), 9.05 (s, 1 H).

Example 6



1-{9-[(4S,2R,3R,5R)-3,4-dihydroxy-5-(hydroxymethyl)oxolan-2-yl]-6-aminopurin-2-yl}pyrazole-4-carboxylic acid which can also be identified as 2-(4-carboxypyrazol-1-yl)adenosine (17).

Compound 12 (0.05 mg, 0.12 mmol) was dissolved one equivalent of 1N NaOH. The solution was allowed to stir at Rt for 2h, then acidified to pH 4. The resulting precipitate was filtered and washed with water and ether. ^{1}HNMR (CD_3OD) Δ3.75 (m, 1 H), 3.90 (m, 1 H), 4.13 (d, 1 H), 4.43 (d, 1 H), 4.64 (m, 1H), 6.05 (d, 1H), 8.10 (s, 1H), 8.35 (s, 1 H), 9.05 (s, 1 H).

Example 7

Compositions of this invention were assayed to determine their affinity for the A2A receptor in a pig striatum membrane prep. Briefly, 0.2 mg of pig striatal membranes were treated with adenosine deaminase (2 U/ mL) and 50 mM Tris buffer (pH = 7.4) followed by mixing. To the pig membranes was added 2 μL of serially diluted DMSO stock solution of the compounds of this invention at concentrations ranging from 10 nM to 100 microM or the control received 2 microL of DMSO alone, then the trotted antagonist ZM 241385 in Tris buffer (50 mM, pH of 7.4) was added to achieve a final concentration of 2 nM . After incubation at 23 °C for 2h, then the solutions were filtered using a membrane harvester using multiple washing of the membranes (3 x). The filter disks were counted in scintillation cocktail to determine the amount of displacement of tritiated ZM displaced by the compositions of this invention. Greater than a 5 point curve was used to generate Ki's. and the number of experiments is indicated in the column marked in Table 1 below.